

10/552, 835

01/15/2008

Connecting via Winsock to STN

52,835
STN Process Search
(earliest)
Claim 1

Welcome to STN International! Enter x:x

LOGINID:SSPTAJMN1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

| | | |
|--------------|--------------------|--|
| NEWS | 1 | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | AUG 06 CAS REGISTRY enhanced with new experimental property tags |
| NEWS | 3 | AUG 06 FSTA enhanced with new thesaurus edition |
| NEWS | 4 | AUG 13 CA/CAplus enhanced with additional kind codes for granted patents |
| NEWS | 5 | AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records |
| NEWS | 6 | AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS | 7 | AUG 27 USPATOLD now available on STN |
| NEWS | 8 | AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS | 9 | SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS | 10 | SEP 13 FORIS renamed to SOFIS |
| NEWS | 11 | SEP 13 INPADOCDB enhanced with monthly SDI frequency |
| NEWS | 12 | SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998 |
| NEWS | 13 | SEP 17 CAplus coverage extended to include traditional medicine patents |
| NEWS | 14 | SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS | 15 | OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS | 16 | OCT 19 BEILSTEIN updated with new compounds |
| NEWS | 17 | NOV 15 Derwent Indian patent publication number format enhanced |
| NEWS | 18 | NOV 19 WPIX enhanced with XML display format |
| NEWS | 19 | NOV 30 ICSD reloaded with enhancements |
| NEWS | 20 | DEC 04 LINPADOCDB now available on STN |
| NEWS | 21 | DEC 14 BEILSTEIN pricing structure to change |
| NEWS | 22 | DEC 17 USPATOLD added to additional database clusters |
| NEWS | 23 | DEC 17 IMSDRUGCONF removed from database clusters and STN |
| NEWS | 24 | DEC 17 DGENE now includes more than 10 million sequences |
| NEWS | 25 | DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS | 26 | DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS | 27 | DEC 17 CA/CAplus enhanced with new custom IPC display formats |
| NEWS | 28 | DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS | 29 | JAN 02 STN pricing information for 2008 now available |
| NEWS EXPRESS | 19 SEPTEMBER 2007: | CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007. |

NEWS HOURS STN Operating Hours Plus Help Desk Availability

10/552, 835

01/15/2008

NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 18:05:24 ON 15 JAN 2008

FILE 'CASREACT' ENTERED AT 18:05:34 ON 15 JAN 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 12 Jan 2008 VOL 148 ISS 3

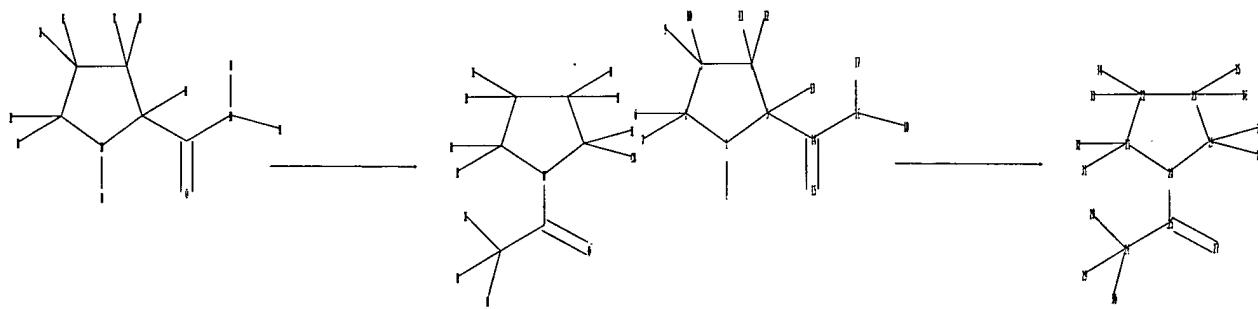
New CAS Information Use Policies, enter HELP USAGETERMS for details.

*
* CASREACT now has more than 13.8 million reactions
*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10552835\process1.str

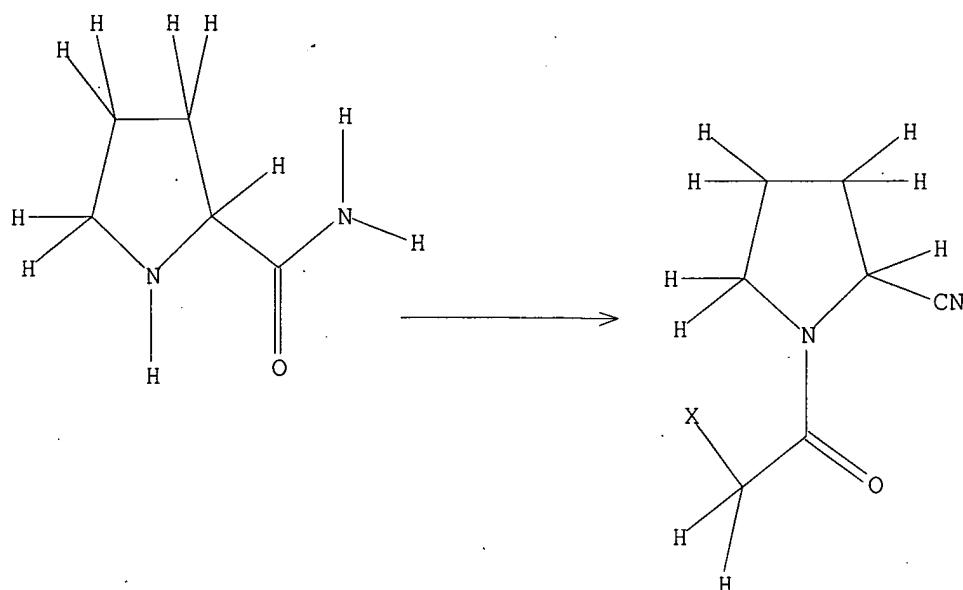


chain nodes :
 6 7 8 9 10 11 12 13 14 15 16 17 18 24 25 26 27 28 29 30 31 32
 33 34 35 36 37
 ring nodes :
 1 2 3 4 5 19 20 21 22 23
 chain bonds :
 1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 14-15 14-16 16-17 16-18 19-24
 19-37 20-25 21-31 21-32 22-33 22-34 23-35 23-36 25-26 25-27 26-28 26-29
 26-30
 ring bonds :
 1-2 1-5 2-3 3-4 4-5 19-20 19-23 20-21 21-22 22-23
 exact/norm bonds :
 1-2 1-5 2-3 3-4 4-5 14-15 14-16 19-20 19-23 20-21 20-25 21-22 22-23
 25-27
 exact bonds :
 1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 16-17 16-18 19-24 19-37 21-31
 21-32 22-33 22-34 23-35 23-36 25-26 26-28 26-29 26-30

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS
 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS
 35:CLASS 36:CLASS 37:CLASS
 fragments assigned product role:
 containing 19
 fragments assigned reactant/reagent role:
 containing 1
 node mappings:
 1:20 5:19 4:23 3:22 2:21

L1 STRUCTURE UPLOADED

=> d
 L1 HAS NO ANSWERS
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 18:05:53 FILE 'CASREACT'
SCREENING COMPLETE - 2 REACTIONS TO VERIFY FROM

1 DOCUMENTS

100.0% DONE 2 VERIFIED
SEARCH TIME: 00.00.01

0 DOCS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED VERIFICATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1 (0 REACTIONS)

=> s 11 full

FULL SEARCH INITIATED 18:05:57 FILE 'CASREACT'
SCREENING COMPLETE - 928 REACTIONS TO VERIFY FROM

31 DOCUMENTS

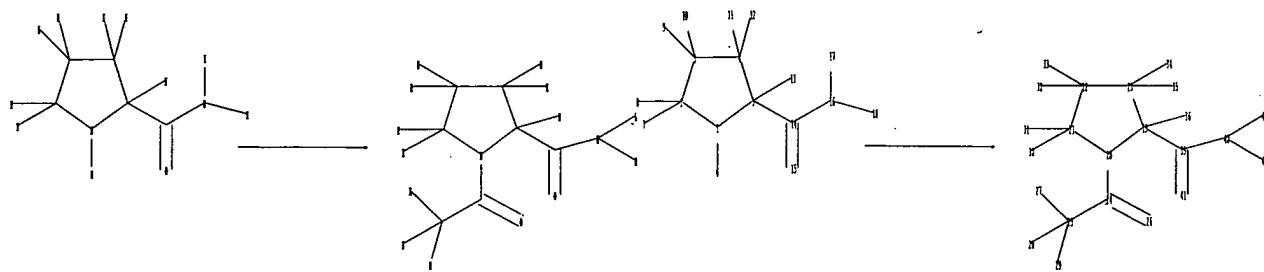
100.0% DONE 928 VERIFIED 7 HIT RXNS
SEARCH TIME: 00.00.01

4 DOCS

L3 4 SEA SSS FUL L1 (7 REACTIONS)

=>

Uploading C:\Program Files\Stnexp\Queries\10552835\process2.str



chain nodes :

| | | | | | | | | | | | | | | | | | | | | | |
|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|
| 6 | 7 | 8 | 9 | 10 | 11 | 12 | 13 | 14 | 15 | 16 | 17 | 18 | 24 | 25 | 26 | 27 | 28 | 29 | 30 | 31 | 32 |
| 33 | 34 | 35 | 36 | 39 | 40 | 41 | 42 | 43 | | | | | | | | | | | | | |

ring nodes :

| | | | | | | | | | |
|---|---|---|---|---|----|----|----|----|----|
| 1 | 2 | 3 | 4 | 5 | 19 | 20 | 21 | 22 | 23 |
|---|---|---|---|---|----|----|----|----|----|

chain bonds :

| | | | | | | | | | | | | | | | | | | | | | |
|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|--|--|--|--|--|--|--|--|
| 1-6 | 2-7 | 2-8 | 3-9 | 3-10 | 4-11 | 4-12 | 5-13 | 5-14 | 14-15 | 14-16 | 14-17 | 16-18 | 19-36 | | | | | | | | |
| 19-39 | 20-24 | 21-30 | 21-31 | 22-32 | 22-33 | 23-34 | 23-35 | 23-36 | 24-25 | 24-26 | 25-27 | 25-28 | | | | | | | | | |
| 25-29 | 39-40 | 39-41 | 40-42 | 40-43 | | | | | | | | | | | | | | | | | |

ring bonds :

| | | | | | | | | | |
|-----|-----|-----|-----|-----|-------|-------|-------|-------|-------|
| 1-2 | 1-5 | 2-3 | 3-4 | 4-5 | 19-20 | 19-23 | 20-21 | 21-22 | 22-23 |
|-----|-----|-----|-----|-----|-------|-------|-------|-------|-------|

exact/norm bonds :

| | | | | | | | | | | | | | | | | | | | | |
|-------|-------|-------|-----|-----|-------|-------|-------|-------|-------|-------|-------|-------|--|--|--|--|--|--|--|--|
| 1-2 | 1-5 | 2-3 | 3-4 | 4-5 | 14-15 | 14-16 | 19-20 | 19-23 | 20-21 | 20-24 | 21-22 | 22-23 | | | | | | | | |
| 24-26 | 39-40 | 39-41 | | | | | | | | | | | | | | | | | | |

exact bonds :

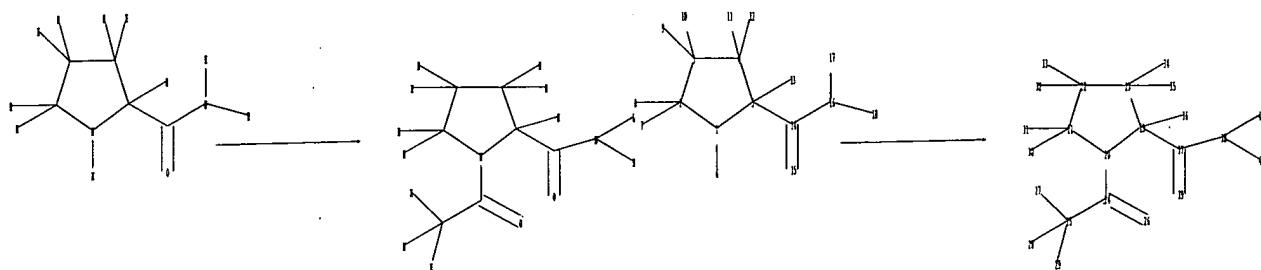
| | | | | | | | | | | | | | | | | | | | | |
|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|--|--|--|--|--|--|--|
| 1-6 | 2-7 | 2-8 | 3-9 | 3-10 | 4-11 | 4-12 | 5-13 | 5-14 | 16-17 | 16-18 | 19-36 | 19-39 | 21-30 | | | | | | | |
| 21-31 | 22-32 | 22-33 | 23-34 | 23-35 | 23-36 | 24-25 | 24-26 | 25-27 | 25-28 | 25-29 | 40-42 | 40-43 | | | | | | | | |

Match level :

| | | | | | | | | | | | | | | | | | | | | |
|----------|----------|----------|----------|----------|----------|----------|----------|----------|--|--|--|--|--|--|--|--|--|--|--|--|
| 1:Atom | 2:Atom | 3:Atom | 4:Atom | 5:Atom | 6:CLASS | 7:CLASS | 8:CLASS | 9:CLASS | | | | | | | | | | | | |
| 10:CLASS | 11:CLASS | 12:CLASS | 13:CLASS | 14:CLASS | 15:CLASS | 16:CLASS | 17:CLASS | | | | | | | | | | | | | |
| 18:CLASS | 19:Atom | 20:Atom | 21:Atom | 22:Atom | 23:Atom | 24:CLASS | 25:CLASS | 26:CLASS | | | | | | | | | | | | |
| 27:CLASS | 28:CLASS | 29:CLASS | 30:CLASS | 31:CLASS | 32:CLASS | 33:CLASS | 34:CLASS | | | | | | | | | | | | | |
| 35:CLASS | 36:CLASS | 39:CLASS | 40:CLASS | 41:CLASS | 42:CLASS | 43:CLASS | | | | | | | | | | | | | | |

L4 STRUCTURE UPLOADED

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chain nodes :
 6 7 8 9 10 11 12 13 14 15 16 17 18 24 25 26 27 28 29 30 31 32
 33 34 35 36 37 38 39 40 41

ring nodes :

1 2 3 4 5 19 20 21 22 23

chain bonds :

1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 14-15 14-16 16-17 16-18 19-36
 19-37 20-24 21-30 21-31 22-32 22-33 23-34 23-35 24-25 24-26 25-27 25-28
 25-29 37-38 37-39 38-40 38-41

ring bonds :

1-2 1-5 2-3 3-4 4-5 19-20 19-23 20-21 21-22 22-23

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 14-15 14-16 19-20 19-23 20-21 20-24 21-22 22-23
 24-26 37-38 37-39

exact bonds :

1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 16-17 16-18 19-36 19-37 21-30
 21-31 22-32 22-33 23-34 23-35 24-25 25-27 25-28 25-29 38-40 38-41

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS
 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS
 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS

fragments assigned product role:

containing 19

fragments assigned reactant/reagent role:

containing 1

node mappings:

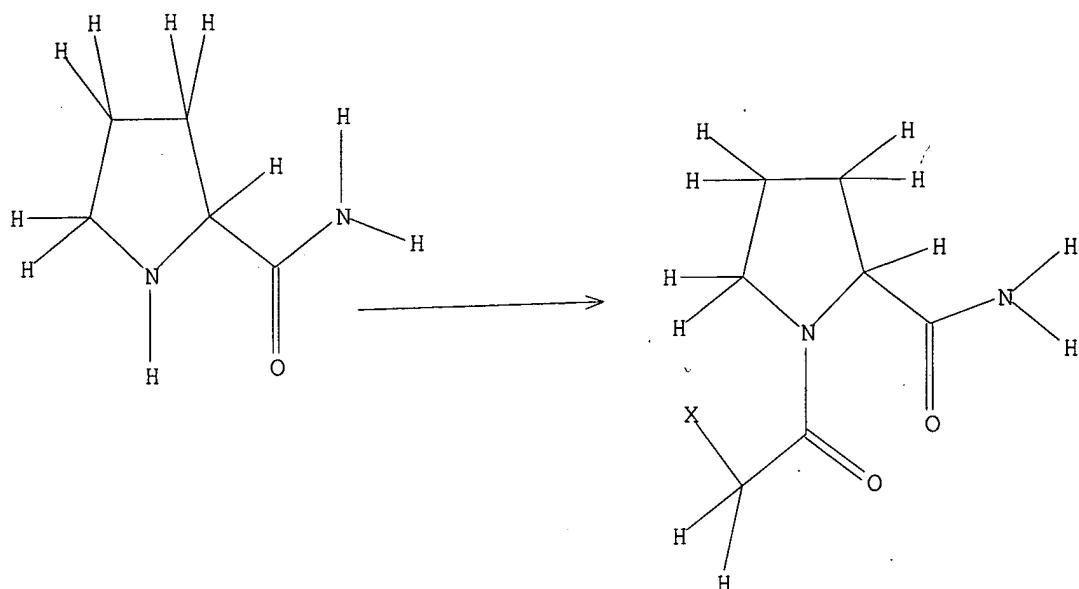
1:20 5:19 14:37 16:38 4:23 3:22 2:21

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s 15 full
FULL SEARCH INITIATED 18:07:51 FILE 'CASREACT'
SCREENING COMPLETE - 2979 REACTIONS TO VERIFY FROM 238 DOCUMENTS
100.0% DONE 2979 VERIFIED 7 HIT RXNS 6 DOCS
SEARCH TIME: 00.00.03
```

L6 6 SEA SSS FUL L5 (7 REACTIONS)

```
=> s 13 or 16
L7 7 L3 OR L6
```

```
=> s 17 and DMF
19823 DMF
L8 0 L7 AND DMF
```

=> d ibib abs hit L7 tot

L7 ANSWER 1 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

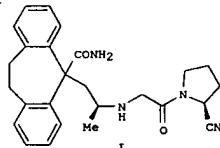
ACCESSION NUMBER: 145:471864 CASREACT

TITLE: Preparation of multicyclic peptide derivatives as dipeptidyl peptidase-IV inhibitors
INVENTOR(S): Kroth, Heiko; Feuerstein, Tim; Richter, Frank; Boer, Jürgen; Essers, Michael; Nolte, Bert; Schneider, Matthias; Hochgertel, Matthias; Frickel, Fritz-Frieder; Taveras, Arthur
PATENT ASSIGNEE(S): Alantos Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 542pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------------|-----------------|----------|
| WO 2006116157 | A2 | 20061102 | WO 2006-US15200 | 20060421 |
| WO 2006116157 | A9 | 20070301 | | |
| WO 2006116157 | A3 | 20070419 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OR | | | | |
| AU 2006233929 | A1 | 20061102 | AU 2006-233929 | 20060421 |
| CA 2599419 | A1 | 20061102 | CA 2006-2599419 | 20060421 |
| US 2006270701 | A1 | 20061130 | US 2006-409481 | 20060421 |
| IN 2007DN06747 | A | 20070921 | IN 2007-DN6747 | 20070831 |
| US 2005-674151P | | US 2005-674151P | 20050422 | |
| WO 2006-US15200 | | WO 2006-US15200 | 20060421 | |

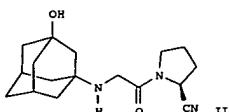
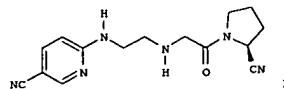
PRIORITY APPN. INFO.: OTHER SOURCE(S): MARPAT 145:471864
GI



L7 ANSWER 2 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:133417 CASREACT

TITLE: 1-[(3-Hydroxy-1-adamantyl)amino]acetyl-2-cyano-(S)-pyrrolidine: A Potent, Selective, and Orally Bioavailable Dipeptidyl Peptidase IV Inhibitor with Antihyperglycemic Properties
AUTHOR(S): Villhauer, Edwin B.; Brinkman, John A.; Naderi, Golli B.; Burkey, Bryan F.; Dunning, Beth E.; Prasad, Kapila; Mangold, Bonnie L.; Russell, Mary E.; Hughes, Thomas E.
CORPORATE SOURCE: Novartis Institute for Biomedical Research, East Hanover, NJ, 07936, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(13), 2774-2789
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



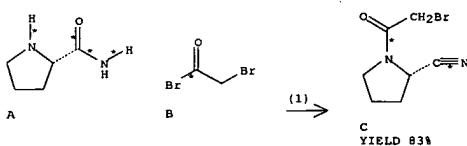
AB Dipeptidyl peptidase IV (DPP-IV) inhibition has the potential to become a valuable therapy for type 2 diabetes. The synthesis and structure-activity relationship of a new DPP-IV inhibitor class, N-substituted-glycyl-2-cyano-pyrrolidines, are described as well as the path leading from the clin. development compound, 1-[2-[(5-cyanopyridin-2-yl)amino]ethyl]aminolactyl-2-cyano-(S)-pyrrolidine I (NVP-DPP728), to its follow-up, 1-[(3-hydroxy-1-adamantyl)amino]acetyl-2-cyano-(S)-pyrrolidine II (NVP-LAF237). The pharmacol. profile of II in obese Zucker fa/fa rats along with pharmacokinetic profile comparison of I and II in normal cynomolgus monkeys is discussed. The results suggest that II is a potent, stable, selective DPP-IV inhibitor possessing excellent oral bioavailability and potent antihyperglycemic activity with potential for once-a-day administration.

REFERENCE COUNT: 92 THERE ARE 92 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 1 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

AB The invention relates generally to pyrrolidine and thiazolidine DPP-IV inhibitory compds. A-B-CO-D (A is a bicyclic or tricyclic ring system attached to B at carbon or nitrogen; B is a linking group such as an amino acid residue or fragment; D is a pyrrolidine or thiazolidine residue or derivative), including isomers and pharmaceutically-acceptable salts, for treatment of DPP-IV mediated diseases, in particular, type-2 diabetes. Thus, pyrrolidinecaronitrile derivative I was prepared by reaction of 5-(S)-2-aminopropyl-10,11-dihydro-5H-dibenzo[a,d]cycloheptene-5-carboxamide with N-glyoxyloyl-1-prolinecaronitrile (prepsn. given) and showed Ki < 6 nM for inhibition of DPP-IV.

RX(1) OF 627 A + B ==> C...



RX(1) RCT A 7531-52-4, B 598-21-0

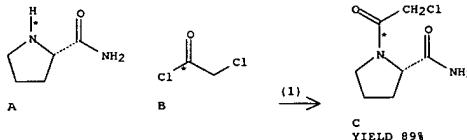
STAGE(1)
SOL 75-09-2 CH2Cl2
CON room temperature

STAGE(2)
RGT D 407-25-0 (CF3CO)2O
SOL 75-09-2 CH2Cl2

PRO C 207557-33-3

L7 ANSWER 2 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

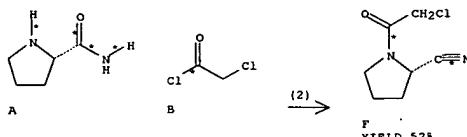
RX(1) OF 233 A + B ==> C...



RX(1) RCT A 7531-52-4, B 79-04-9

RGT D 584-08-7 K2CO3
PRO C 214398-99-9
SOL 109-99-9 THF
CON SUBSTAGE(1) .75 hours, room temperature
SUBSTAGE(2) 18 hours, room temperature

RX(2) OF 233 A + B ==> F...



RX(2) RCT A 7531-52-4, B 79-04-9

STAGE(1)
RGT D 584-08-7 K2CO3
SOL 109-99-9 THF
CON SUBSTAGE(1) .75 hours, room temperature
SUBSTAGE(2) 2 hours, room temperature

STAGE(2)
RGT G 407-25-0 (CF3CO)2O
CON 1 hour, room temperature

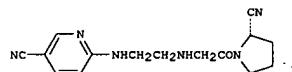
PRO F 207557-35-5

RX(6) OF 233 A + B ==> M...

L7 ANSWER 3 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 SOL 7732-18-5 Water
 CON 0 deg C
 PRO K 207557-33-3

(Continued)

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:78828 CASREACT
 TITLE: 1-[2-[(5-Cyano(pyridin-2-yl)amino)ethylamino]acetyl]-2-(S)-pyrrolidinecarbonitrile: A Potent, Selective, and Orally Bioavailable Dipeptidyl Peptidase IV Inhibitor with Antihyperglycemic Properties
 AUTHOR(S): Villhauer, Edwin B.; Brinkman, John A.; Naderi, Golie B.; Dunning, Beth E.; Mangold, Bonnie L.; Mone, Manisha D.; Russell, Mary E.; Weldon, Stephen C.; Hughes, Thomas E.
 CORPORATE SOURCE: Novartis Institute for Biomedical Research, Summit, NJ, 07901, USA
 SOURCE: Journal of Medicinal Chemistry (2002), 45(12), 2362-2365
 PUBLISHER: CODEN: JMCAR; ISSN: 0022-2623
 DOCUMENT TYPE: American Chemical Society
 LANGUAGE: Journal
 GI English

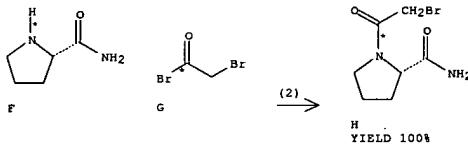


AB Dipeptidyl peptidase IV (DPP-IV) inhibition has the potential to become a valuable therapy for type 2 diabetes. We report the first use of solid-phase synthesis in the discovery of a new DPP-IV inhibitor class and a solution-phase synthesis that is practical up to the multikilogram scale. One compound, NVP-DPP728 (I), is profiled as a potent, selective, and short-acting DPP-IV inhibitor that has excellent oral bioavailability and potent antihyperglycemic activity.

REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

RX(2) OF 36 F + G ==> H...

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(2) RCT F 7531-52-4

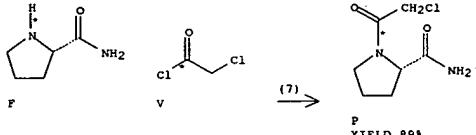
STAGE(1)
 RGT I 121-44-8 Et3N, J 1122-58-3 4-DMAP
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT G 598-21-0
 SOL 75-09-2 CH2Cl2

STAGE(3)
 SOL 141-78-6 AcOEt

PRO H 253309-37-4
 NTE stereoselective

RX(7) OF 36 F + V ==> P...



RX(7) RCT F 7531-52-4

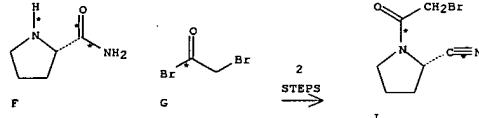
STAGE(1)
 SOL 109-99-9 THF

STAGE(2)
 RCT V 79-04-9
 RGT W 584-08-7 K2CO3
 SOL 109-99-9 THF

PRO P 214398-99-9
 NTE stereoselective

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(13) OF 36 COMPOSED OF RX(2), RX(3)
 RX(13) F + G ==> L



RX(2) RCT F 7531-52-4

STAGE(1)
 RGT I 121-44-8 Et3N, J 1122-58-3 4-DMAP
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT G 598-21-0
 SOL 75-09-2 CH2Cl2

STAGE(3)
 SOL 141-78-6 AcOEt

PRO H 253309-37-4
 NTE stereoselective

RX(3) RCT H 253309-37-4

STAGE(1)
 SOL 75-09-2 CH2Cl2

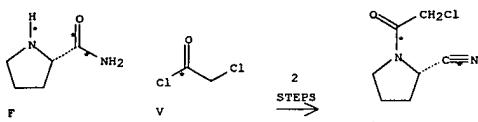
STAGE(2)
 RGT M 407-25-0 (CF3CO)2O

STAGE(3)
 RGT N 144-55-8 NaHCO3
 SOL 7732-18-5 Water, 141-78-6 AcOEt

PRO L 207557-33-3
 NTE stereoselective

RX(18) OF 36 COMPOSED OF RX(7), RX(4)
 RX(18) F + V ==> Q

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(7) RCT F 7531-52-4

STAGE(1)
SOL 109-99-9 THFSTAGE(2)
RCT V 79-04-9
RGT W 584-08-7 K2CO3
SOL 109-99-9 THFPRO P 214398-99-9
NTE stereoselective

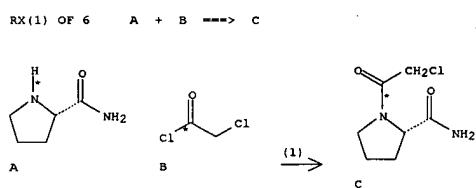
RX(4) RCT P 214398-99-9

STAGE(1)
SOL 75-09-2 CH2Cl2STAGE(2)
RGT M 407-25-0 (CF3CO)2OSTAGE(3)
RGT N 144-55-8 NaHCO3
SOL 7732-18-5 Water, 75-09-2 CH2Cl2

PRO Q 207557-35-5

L7 ANSWER 5 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 134:251952 CASREACT
 TITLE: Methods for the acylation of amine compounds
 INVENTOR(S): Fitt, John Joseph, Sr.; Kapa, Prasad Koteswara
 PATENT ASSIGNEE(S): Novartis Pharmaceuticals Corp., USA
 SOURCE: U.S., 4 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------------|-----------------|----------|
| US 6211384 | B1 | 20010403 | US 1999-385722 | 19990830 |
| PRIORITY APPLN. INFO.: | | US 1999-385722 19990830 | | |
| OTHER SOURCE(S): MARPAT 134:251952 | | | | |
| AB Amines were acylated by reacting a first reactant containing an amine group with a second reactant containing an acyl halide group. The reaction takes place in the presence of secondary carboxylic acid salt forms, of formula R4R5CHCO2H (R4 is an alkyl group having 1 to 10 carbon atoms; R5 is an alkyl group having 1 to 10 carbon atoms). E.g., chloroacetyl chloride was added to L-prolinamide and sodium 2-ethylhexanoate in t-Bu Me ether to give the acylated L-prolinamide. | | | | |
| REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT | | | | |



RX(1) RCT A 7531-52-4

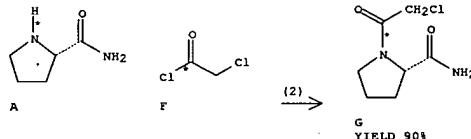
STAGE(1)
RGT D 19766-89-3 Na 2-ethylhexanoate
SOL 1634-04-4 t-BuOMeSTAGE(2)
RGT B 79-04-9
RGT E 149-57-5 2-Ethylhexanoic acid

L7 ANSWER 5 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

PRO C 214398-99-9

L7 ANSWER 6 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 129:302823 CASREACT
 TITLE: Sodium 2-ethylhexanoate: a mild acid scavenger useful in acylation of amines
 AUTHOR(S): Fitt, John; Prasad, Kapa; Repic, Olijan; Blacklock, Thomas J.
 CORPORATE SOURCE: Process R&D, Chem. Anal. Dev., Novartis Pharm. Corp., East Hanover, NJ, 07936, USA
 SOURCE: Tetrahedron Letters (1998), 39(39), 6991-6992
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A highly useful method for the acylation of amines with acid chlorides utilizing sodium 2-ethylhexanoate as the base is described. This procedure is superior to the Schotten-Baumann conditions whenever the product is water soluble
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(2) OF 6 A + F -> G



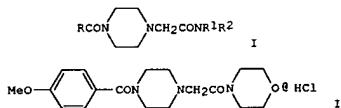
RX(2) RCT A 7531-52-4, F 79-04-9
 RGT D 19766-89-3 Na 2-ethylhexanoate
 PRO G 214398-99-9
 SOL 109-99-9 THF

L7 ANSWER 7 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 102:95674 CASREACT
 TITLE: Substituted piperazin-1-yl-acetic-acid amides, and
 their use
 INVENTOR(S): Schoenafinger, Karl; Beyerle, Rudi; Schindler,
 Ursula;
 Martorana, Piero; Nitz, Rolf Eberhard
 PATENT ASSIGNEE(S): Cassella A.-G., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 32 pp.
 CODEN: EPXWDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------------------|----------|-----------------|----------|
| EP 123977 | A1 | 19841107 | EP 1984-104042 | 19840411 |
| R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| DE 3315424 | A1 | 19841220 | DE 1983-3315424 | 19830428 |
| DK 8401477 | A | 19841029 | DK 1984-1477 | 19840229 |
| FI 8401461 | A | 19841029 | FI 1984-1461 | 19840412 |
| NO 8401464 | A | 19841029 | NO 1984-1464 | 19840412 |
| US 4610984 | A | 19860909 | US 1984-601637 | 19840418 |
| JP 59205363 | A | 19841120 | JP 1984-80360 | 19840423 |
| DD 223711 | A5 | 19850619 | DD 1984-262312 | 19840425 |
| CS 244811 | B2 | 19860814 | CS 1984-3071 | 19840425 |
| HU 34178 | A2 | 19850228 | HU 1984-1608 | 19840426 |
| AU 8427473 | A | 19841101 | AU 1984-27473 | 19840427 |
| ZA 8403138 | A | 19841128 | ZA 1984-3138 | 19840427 |
| CA 1202304 | A1 | 19860325 | CA 1984-452963 | 19840427 |
| CS 244849 | B2 | 19860814 | CS 1985-1217 | 19850220 |
| PRIORITY APPLN. INFO.: | | | DE 1983-3315424 | 19830428 |
| OTHER SOURCE(S): | MARPAT 102:95674 | | CS 1984-3071 | 19840425 |

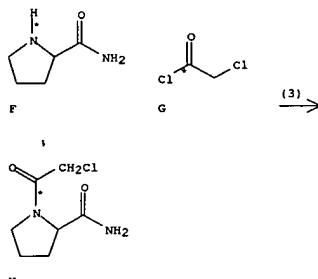
GI



AB The title compds. (I; R = amino, ClC6H4OCH2, pyridinyl, thiienyl, furanyl, (un)substituted Ph; R1, R2 = H, (un)substituted alkyl; R1R2 = cyclohexylmethylen; NR1R2 = morpholino, piperidine, 1-pyrrolidinyl, 4-methyl-1-piperazinyl) were prepared. Thus, 10.25 g 4-(1-piperazinylacetyl)morpholine was acylated with 4-MeOC6H4COCl to give 14.6

L7 ANSWER 7 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 g II. II enhanced learning and memory in mice in the passive avoidance test with a min. ED of 3 mg/kg orally.

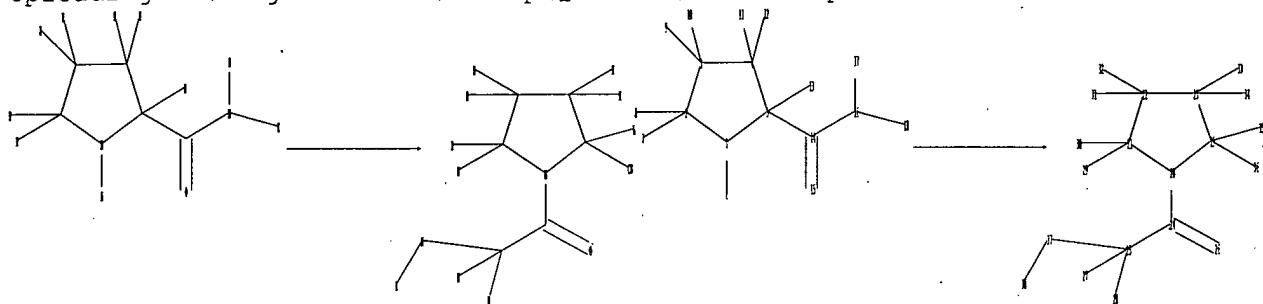
RX(3) OF 6 F + G ==> H...



RX(3) RCT F 2812-47-7, G 79-04-9
 PRO H 94747-48-5

>

Uploading C:\Program Files\Stnexp\Queries\10552835\process4.str



chain nodes :

| | | | | | | | | | | | | | | | | | | | | | |
|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|----|
| 6 | 7 | 8 | 9 | 10 | 11 | 12 | 13 | 14 | 15 | 16 | 17 | 18 | 24 | 25 | 26 | 27 | 28 | 29 | 30 | 31 | 32 |
| 33 | 34 | 35 | 36 | 37 | 38 | | | | | | | | | | | | | | | | |

ring nodes :

| | | | | | | | | | |
|---|---|---|---|---|----|----|----|----|----|
| 1 | 2 | 3 | 4 | 5 | 19 | 20 | 21 | 22 | 23 |
|---|---|---|---|---|----|----|----|----|----|

chain bonds :

| | | | | | | | | | | | | | | | | | | | | |
|-------|-------|-----|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|--|--|--|--|--|--|--|
| 1-6 | 2-7 | 2-8 | 3-9 | 3-10 | 4-11 | 4-12 | 5-13 | 5-14 | 14-15 | 14-16 | 14-17 | 16-18 | 19-35 | | | | | | | |
| 19-36 | 20-24 | | 21-29 | 21-30 | 22-31 | 22-32 | 23-33 | 23-34 | 23-34 | 24-25 | 24-26 | 25-28 | 25-27 | | | | | | | |
| 25-37 | 37-38 | | | | | | | | | | | | | | | | | | | |

ring bonds :

| | | | | | | | | | |
|-----|-----|-----|-----|-----|-------|-------|-------|-------|-------|
| 1-2 | 1-5 | 2-3 | 3-4 | 4-5 | 19-20 | 19-23 | 20-21 | 21-22 | 22-23 |
|-----|-----|-----|-----|-----|-------|-------|-------|-------|-------|

exact/norm bonds :

| | | | | | | | | | | | | | | | | | | | |
|-------|-------|-----|-----|-----|-------|-------|-------|-------|-------|-------|-------|-------|--|--|--|--|--|--|--|
| 1-2 | 1-5 | 2-3 | 3-4 | 4-5 | 14-15 | 14-16 | 19-20 | 19-23 | 20-21 | 20-24 | 21-22 | 22-23 | | | | | | | |
| 24-26 | 25-37 | | | | | | | | | | | | | | | | | | |

exact bonds :

| | | | | | | | | | | | | | | | | | | | | |
|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|-------|--|--|--|--|--|--|--|
| 1-6 | 2-7 | 2-8 | 3-9 | 3-10 | 4-11 | 4-12 | 5-13 | 5-14 | 16-17 | 16-18 | 19-35 | 19-36 | 21-29 | | | | | | | |
| 21-30 | 22-31 | 22-32 | 23-33 | 23-34 | 24-25 | 24-25 | 25-28 | 25-27 | 37-38 | | | | | | | | | | | |

Match level :

| | | | | | | | | | | | | | | | | | | | | |
|----------|----------|----------|----------|----------|----------|----------|----------|----------|--|--|--|--|--|--|--|--|--|--|--|--|
| 1:Atom | 2:Atom | 3:Atom | 4:Atom | 5:Atom | 6:CLASS | 7:CLASS | 8:CLASS | 9:CLASS | | | | | | | | | | | | |
| 10:CLASS | 11:CLASS | 12:CLASS | 13:CLASS | 14:CLASS | 15:CLASS | 16:CLASS | 17:CLASS | | | | | | | | | | | | | |
| 18:CLASS | 19:Atom | 20:Atom | 21:Atom | 22:Atom | 23:Atom | 24:CLASS | 25:CLASS | 26:CLASS | | | | | | | | | | | | |
| 27:CLASS | 28:CLASS | 29:CLASS | 30:CLASS | 31:CLASS | 32:CLASS | 33:CLASS | 34:CLASS | | | | | | | | | | | | | |
| 35:CLASS | 36:CLASS | 37:CLASS | 38:CLASS | | | | | | | | | | | | | | | | | |

fragments assigned product role:

containing 19

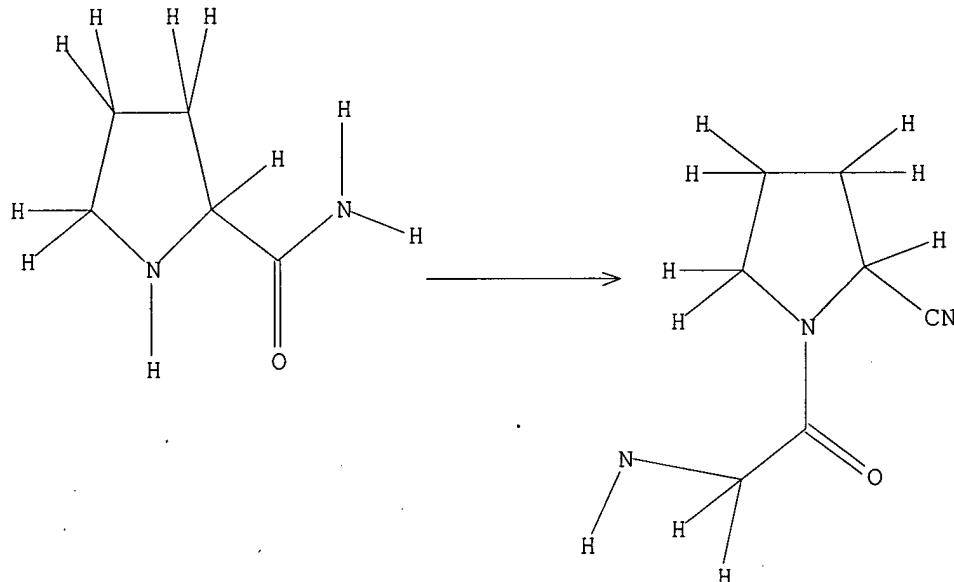
fragments assigned reactant/reagent role:

containing 1

node mappings:

1:20 5:19 4:23 3:22 2:21

=> d
L9 HAS NO ANSWERS
L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19 full
FULL SEARCH INITIATED 18:13:44 FILE 'CASREACT'
SCREENING COMPLETE - 1744 REACTIONS TO VERIFY FROM 47 DOCUMENTS

100.0% DONE 1744 VERIFIED 219 HIT RXNS 6 DOCS
SEARCH TIME: 00.00.01

L10 6 SEA SSS FUL L9 (219 REACTIONS)

=> d his

(FILE 'HOME' ENTERED AT 18:05:24 ON 15 JAN 2008)

FILE 'CASREACT' ENTERED AT 18:05:34 ON 15 JAN 2008

| | |
|-----|--------------------|
| L1 | STRUCTURE UPLOADED |
| L2 | 0 S L1 |
| L3 | 4 S L1 FULL |
| L4 | STRUCTURE UPLOADED |
| L5 | STRUCTURE UPLOADED |
| L6 | 6 S L5 FULL |
| L7 | 7 S L3 OR L6 |
| L8 | 0 S L7 AND DMF |
| L9 | STRUCTURE UPLOADED |
| L10 | 6 S L9 FULL |

10/552,835

01/15/2008

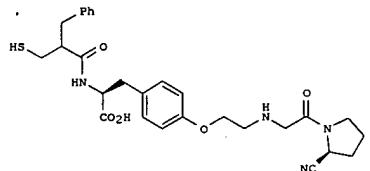
=> s l10 or l7
L11 9 L10 OR L7

=> s l10 not l7
L12 2 L10 NOT L7

=> d ibib abs hit l12 tot

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 144:254389 CASREACT
 TITLE: Preparation of novel peptidomimetics for inhibition
 of
 INVENTOR(S):
 PATENT ASSIGNEE(S): Morphchem AG, Germany
 SOURCE: U.S. Pat. Appl. Publ., 17 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 2006046978 | A1 | 20060302 | US 2004-930606 | 20040831 |
| PRIORITY APPLN. INFO.: | | | US 2004-930606 | 20040831 |
| GI | | | | |



AB The invention relates to novel compds. of general formula A-L-B, A-L-C and A-L-D, Where A is an inhibitor of DPP-IV, B is an inhibitor of neprilysin, C is an inhibitor of ACE, D is an inhibitor of vasoconstrictors (especially NEP)
 and (or pharmacophores of A, B, C or D) and L is a linker, or a pharmaceutically-acceptable salt, solvent, or formulation, which are useful for the treatment as well as the prevention of type 2 diabetes mellitus. Thus, peptidomimetic compound I was prepared by a multistep procedure which includes reactions of L-prolinamide and L-tyrosine Me ester.

RX(249) OF 361 COMPOSED OF RX(12), RX(13), RX(14), RX(19), RX(20)
 RX(249) AD + AG + BC ==> A

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

STAGE(1)
 RGT AM 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
 RGT AQ 24057-28-1 Pyridinium tosylate
 PRO AP 752218-18-1
 SOL 64-17-5 EtOH
 CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 SOL 109-99-9 THF
 CON 1 hour, room temperature

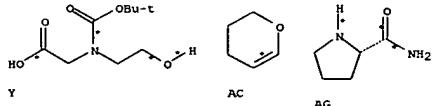
STAGE(2)
 RGT BC 124735-31-5
 CON 2 days, room temperature

STAGE(3)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 CON 24 hours, room temperature

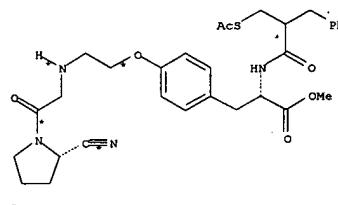
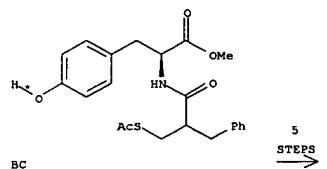
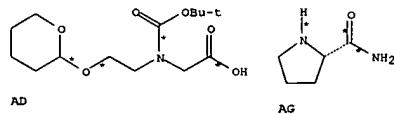
PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
 RGT F 76-05-1 F3CCO2H
 PRO A 752218-27-2
 SOL 7732-18-5 Water
 CON 3 hours, room temperature

RX(250) OF 361 COMPOSED OF RX(11), RX(12), RX(13), RX(14), RX(19), RX(20)
 RX(250) Y + AC + AG + BC ==> A



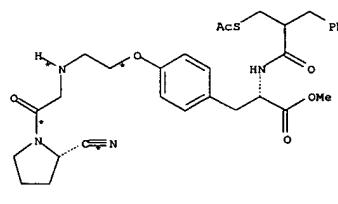
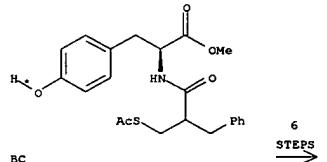
L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(12) RCT AD 752218-12-5, AG 7531-52-4
 RGT AI 538-76-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
 N-Methylmorpholine
 PRO AH 877373-92-7
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(11) RCT Y 189160-67-6, AC 110-87-2
 RGT AE 9037-24-5 Amberlyst 15
 PRO AD 752218-12-5
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
 RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
 N-Methylmorpholine
 PRO AH 877373-92-7
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)
 RGT AM 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) -5 deg C -> room temperature

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

(Continued)

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
 RGT AQ 24057-28-1 Pyridinium tosylate
 PRO AP 752218-18-1
 SOL 64-17-5 EtOH
 CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 SOL 109-99-9 THF
 CON 1 hour, room temperature

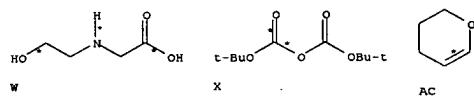
STAGE(2)
 RCT BC 124735-31-5
 CON 2 days, room temperature

STAGE(3)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 CON 24 hours, room temperature

PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
 RGT F 76-05-1 F3CCO2H
 PRO A 752218-27-2
 SOL 7732-18-5 Water
 CON 3 hours, room temperature

RX(251) OF 361 COMPOSED OF RX(10), RX(11), RX(12), RX(13), RX(14), RX(19),
 RX(20)
 RX(251) W + X + AC + AG + BC ==> A



L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
 N-Methylmorpholine
 PRO AH 877373-92-7
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)
 RGT AM 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
 RGT AQ 24057-28-1 Pyridinium tosylate
 PRO AP 752218-18-1
 SOL 64-17-5 EtOH
 CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 SOL 109-99-9 THF
 CON 1 hour, room temperature

STAGE(2)
 RCT BC 124735-31-5
 CON 2 days, room temperature

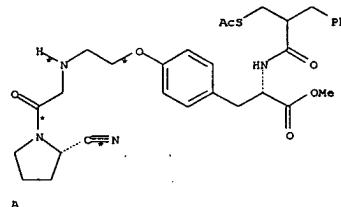
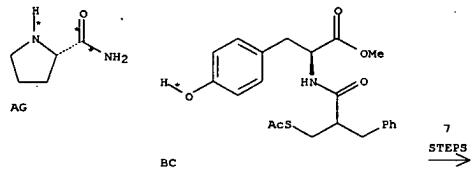
STAGE(3)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 CON 24 hours, room temperature

PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
 RGT F 76-05-1 F3CCO2H
 PRO A 752218-27-2
 SOL 7732-18-5 Water
 CON 3 hours, room temperature

RX(252) OF 361 COMPOSED OF RX(9), RX(10), RX(11), RX(12), RX(13), RX(14),
 RX(19), RX(20)
 RX(252) U + V + X + AC + AG + BC ==> A

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(10) RCT W 5835-28-9, X 24424-99-5

STAGE(1)
 RGT Z 1310-73-2 NaOH
 SOL 7732-18-5 Water, 123-91-1 Dioxane
 CON 24 hours, room temperature

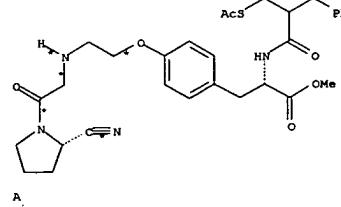
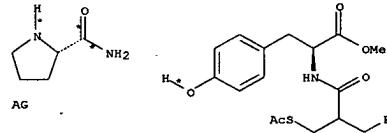
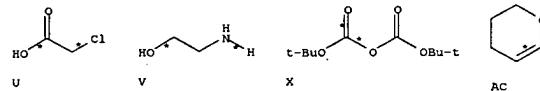
STAGE(2)
 RGT AA 7647-01-0 HCl
 SOL 7732-18-5 Water

PRO Y 189160-67-6

RX(11) RCT Y 189160-67-6, AC 110-87-2
 RGT AE 9037-24-5 Amberlyst 15
 PRO AD 752218-12-5
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(9) RCT U 79-11-8, V 141-43-5
 PRO W 5835-28-9
 SOL 7732-18-5 Water
 CON 24 hours, room temperature

RX(10) RCT W 5835-28-9, X 24424-99-5

STAGE(1)
 RGT Z 1310-73-2 NaOH
 SOL 7732-18-5 Water, 123-91-1 Dioxane
 CON 24 hours, room temperature

STAGE(2)
 RGT AA 7647-01-0 HCl

Searched by Jason M. Nolan, Ph.D.

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L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
SOL 7732-18-5 Water

PRO Y 189160-67-6
RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7
STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C
STAGE(2)
RGT AN 10025-87-3 POC13
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1
STAGE(1)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 Eto2CN:NCO₂Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)
RGT BC 124735-31-5
CON 2 days, room temperature
STAGE(3)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 Eto2CN:NCO₂Et
CON 24 hours, room temperature

PRO BG 752218-25-0

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7
STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C
STAGE(2)
RGT AN 10025-87-3 POC13
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1
STAGE(1)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 Eto2CN:NCO₂Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)
RGT BC 124735-31-5.
CON 2 days, room temperature

STAGE(3)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 Eto2CN:NCO₂Et
CON 24 hours, room temperature

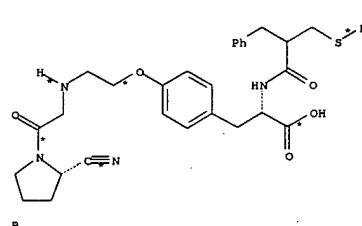
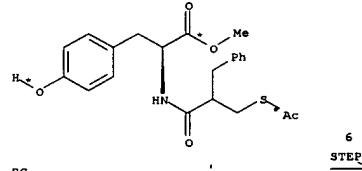
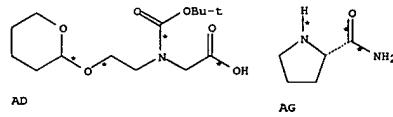
PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO₂H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

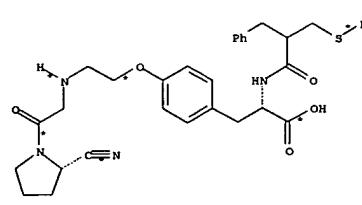
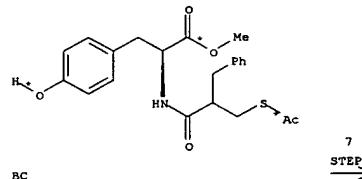
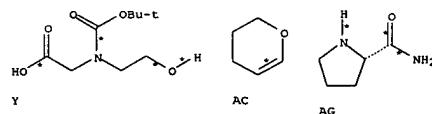
RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO₂H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(254) OF 361 COMPOSED OF RX(12), RX(13), RX(14), RX(19), RX(20), RX(1)
RX(254) AD + AG + BC ==> B



L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
RX(255) OF 361 COMPOSED OF RX(11), RX(12), RX(13), RX(14), RX(19), RX(20), RX(1)
RX(255) Y + AC + AG + BC ==> B



RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
RGT AN 10025-87-3 POC13
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO₂CN:NCO₂Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)
RCT BC 124735-31-5
CON 2 days, room temperature

STAGE(3)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO₂CN:NCO₂Et
CON 24 hours, room temperature

PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO₂H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

SOL 7732-18-5 Water, 123-91-1 Dioxane
CON 24 hours, room temperature

STAGE(2)
RGT AA 7647-01-0 HCl
SOL 7732-18-5 Water

PRO Y 189160-67-6

RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
RGT AN 10025-87-3 POC13
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO₂CN:NCO₂Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)
RCT BC 124735-31-5
CON 2 days, room temperature

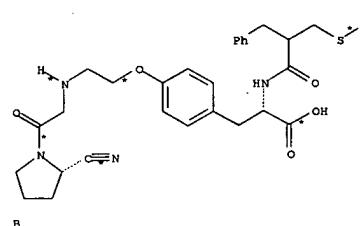
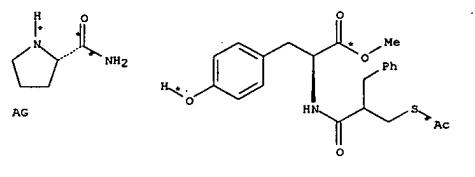
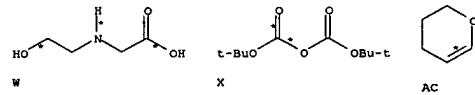
STAGE(3)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO₂CN:NCO₂Et
CON 24 hours, room temperature

PRO BG 752218-25-0

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(256) OF 361 COMPOSED OF RX(10), RX(11), RX(12), RX(13), RX(14), RX(19),
RX(20), RX(1)

RX(256) W + X + AC + AG + BC ==> B



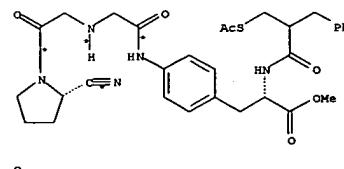
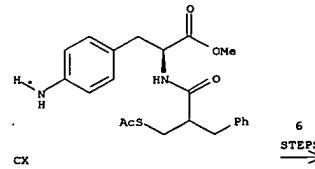
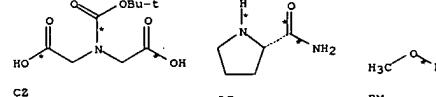
RX(10) RCT W 5835-28-9, X 24424-99-5
STAGE(1)
RGT Z 1310-73-2 NaOH

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO₂H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

RX(350) OF 361 COMPOSED OF RX(48), RX(49), RX(50), RX(51), RX(52), RX(53)
RX(350) CZ + AG + BM + CX ==> O



L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 RX(48) RCT CZ 56074-20-5

STAGE(1)
 RGT AI 538-75-0 DCC
 SOL 109-99-9 THF
 CON overnight, room temperature

STAGE(2)
 RCT AG 7531-52-4
 CON 3 hours, room temperature -> 50 deg C

PRO DA 877374-12-4

RX(49) RCT DA 877374-12-4, BM 67-56-1
 RGT CO 1122-58-3 4-DMAP, CD 25952-53-8 EDAP
 PRO DB 877374-13-5
 SOL 75-09-2 CH₂Cl₂
 CON overnight, room temperature

RX(50) RCT DB 877374-13-5

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl₃, AM 288-32-4 1H-Imidazole
 CON SUBSTAGE(1) -20 deg C
 SUBSTAGE(2) -20 deg C -> room temperature

PRO DC 877374-14-6

RX(51) RCT DC 877374-14-6

STAGE(1)
 RGT C 1310-65-2 LiOH
 SOL 7732-18-5 Water, 109-99-9 THF
 CON 4 hours, room temperature

STAGE(2)
 RGT BL 7681-38-1 NaHSO₄
 SOL 7732-18-5 Water

PRO DD 877374-15-7

RX(52) RCT CX 877374-09-9, DD 877374-15-7

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl₃

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

P

RX(48) RCT CZ 56074-20-5

STAGE(1)
 RGT AI 538-75-0 DCC
 SOL 109-99-9 THF
 CON overnight, room temperature

STAGE(2)
 RCT AG 7531-52-4
 CON 3 hours, room temperature -> 50 deg C

PRO DA 877374-12-4

RX(49) RCT DA 877374-12-4, BM 67-56-1
 RGT CO 1122-58-3 4-DMAP, CD 25952-53-8 EDAP
 PRO DB 877374-13-5
 SOL 75-09-2 CH₂Cl₂
 CON overnight, room temperature

RX(50) RCT DB 877374-13-5

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl₃, AM 288-32-4 1H-Imidazole
 CON SUBSTAGE(1) -20 deg C
 SUBSTAGE(2) -20 deg C -> room temperature

PRO DC 877374-14-6

RX(51) RCT DC 877374-14-6

STAGE(1)
 RGT C 1310-65-2 LiOH
 SOL 7732-18-5 Water, 109-99-9 THF
 CON 4 hours, room temperature

STAGE(2)
 RGT BL 7681-38-1 NaHSO₄
 SOL 7732-18-5 Water

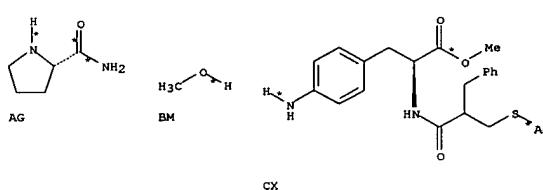
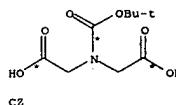
L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 CON SUBSTAGE(1) 1 hour, -20 deg C
 SUBSTAGE(2) overnight, -20 deg C -> room temperature

PRO DE 877374-16-8

RX(53) RCT DE 877374-16-8
 RGT F 76-05-1 F₃CCO₂H
 PRO O 877374-17-9
 SOL 7732-18-5 Water
 CON 5 hours, room temperature

RX(353) OF 361 COMPOSED OF RX(48), RX(49), RX(50), RX(51), RX(52), RX(53), RX(6)

RX(353) C2 + AG + BM + CX ==> P



7 STEPS

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

PRO DD 877374-15-7

RX(52) RCT CX 877374-09-9, DD 877374-15-7

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl₃
 CON SUBSTAGE(1) 1 hour, -20 deg C
 SUBSTAGE(2) overnight, -20 deg C -> room temperature

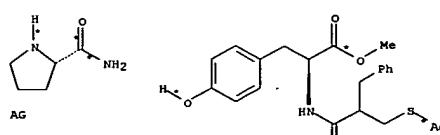
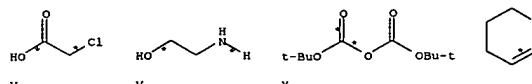
PRO DE 877374-16-8

RX(53) RCT DE 877374-16-8
 RGT F 76-05-1 F₃CCO₂H
 PRO O 877374-17-9
 SOL 7732-18-5 Water
 CON 5 hours, room temperature

RX(6) RCT O 877374-17-9
 RGT C 1310-65-2 LiOH
 PRO P 877373-89-2
 SOL 7732-18-5 Water, 109-99-9 THF
 CON 4 hours, room temperature

RX(358) OF 361 COMPOSED OF RX(9), RX(10), RX(11), RX(12), RX(13), RX(14), RX(19), RX(20), RX(1)

RX(358) U + V + X + AC + AG + BC ==> B

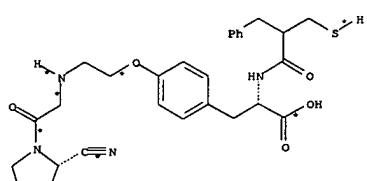


BC

9 STEPS

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

(Continued)



B

RX(9) RCT U 79-11-8, V 141-43-5
PRO W 5835-28-9
SOL 7732-18-5 Water
CON 24 hours, room temperature

RX(10) RCT W 5835-28-9, X 24424-99-5

STAGE(1)
RGT Z 1310-73-2 NaOH
SOL 7732-18-5 Water, 123-91-1 Dioxane
CON 24 hours, room temperature

STAGE(2)
RGT AA 7647-01-0 HCl
SOL 7732-18-5 Water

PRO Y 189160-67-6

RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

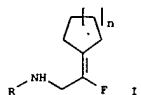
RX(13) RCT AH 877373-92-7

STAGE(1)

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 142:218971 CASREACT

TITLE: Fluoro-Olefins as Peptidomimetic Inhibitors of Dipeptidyl Peptidases
AUTHOR(S): Van der Veken, Pieter; Senten, Kristel; Kertesz, Istvan; De Meester, Ingrid; Lambier, Anne-Marie; Maes, Marie-Berthe; Scharpe, Simon; Haemers, Achiel; Augustyns, Koen
CORPORATE SOURCE: Departments of Medicinal Chemistry and Medical Biochemistry, University of Antwerp, Antwerp, B-2610, Belg.
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1768-1780
PUBLISHER: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB in The feasibility of the fluoro-olefin function as a peptidomimetic group in inhibitors for dipeptidyl peptidase IV and II (DPP IV and DPP II) is investigated by evaluation of N-substituted Gly- Ψ [CF₃]Cypyrrolidines, Gly- Ψ [CF₃]Cypiperidines (i.e., I and II with R = cyclohexyl, PhCH₂, 4-benzyl-4-piperidyl, etc.) and Gly- Ψ [CF₃C] (2-cyano)pyrrolidines. Of this latter class, the (Z)- and (E)-fluoro-olefin analogs were prepared and chemical stability in comparison with the parent amide was checked. Most of these compds. exhibited a strong binding preference toward DPP II with IC₅₀ values in the low micromolar range, while only low DPP IV inhibitory potential is seen.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(79) OF 237 AN + DI + EG ==> EH

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)

RGT AN 10025-87-3 POCl₃
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AG 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 EtO₂CN:NCO₂Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)

RGT BC 124735-31-5
CON 2 days, room temperature

STAGE(3)

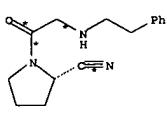
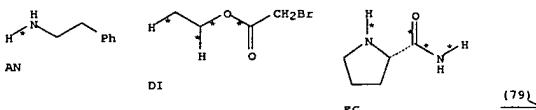
RGT BH 603-35-0 PPh₃, BI 1972-28-7 EtO₂CN:NCO₂Et
CON 24 hours, room temperature

PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F₃CCO₂H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

EH
YIELD 42%

RX(79) RCT AN 64-04-0

STAGE(1)
RGT BW 24424-99-5 (Boc)2O
SOL 75-09-2 CH₂Cl₂

STAGE(2)
RGT DI 105-36-2
SOL 60-29-7 Et₂O

STAGE(3)
RGT EG 7531-52-4
SOL 60-29-7 Et₂O
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) 4 hours, room temperature

STAGE(4)
RGT AB 7631-86-9 SiO₂
CON room temperature

STAGE(5)
RGT BY 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON room temperature -> -10 deg C

STAGE(6)
RGT AC 10025-87-3 POCl₃
SOL 75-09-2 CH₂Cl₂
CON 15 minutes, -10 deg C

STAGE(7)
RGT AB 7631-86-9 SiO₂
CON room temperature

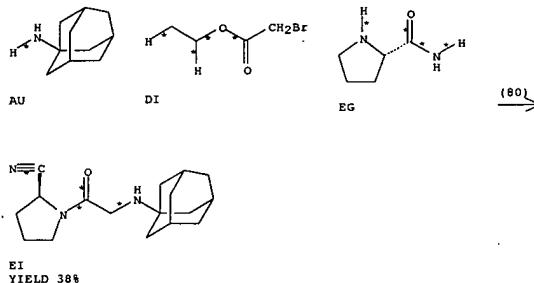
L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

(Continued)

STAGE(8)
 RGT CU 76-05-1 F3CCO2H
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, room temperature

PRO EH 437702-73-3

RX(80) OF 237 AU + DI + EG ==> EI



RX(80) RCT AU 768-94-5

STAGE(1)
 RGT BW 24424-99-5 (Boc)2O
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT DI 105-36-2
 SOL 60-29-7 Et2O

STAGE(3)
 RCT EG 7531-52-4
 SOL 60-29-7 Et2O
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) 4 hours, room temperature

STAGE(4)
 RGT AB 7631-86-9 SiO2
 CON room temperature

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RCT DI 105-36-2
 SOL 60-29-7 Et2O

STAGE(3)
 RCT EG 7531-52-4
 SOL 60-29-7 Et2O
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) 4 hours, room temperature

STAGE(4)
 RGT AB 7631-86-9 SiO2
 CON room temperature

STAGE(5)
 RGT BY 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON room temperature -> -10 deg C

STAGE(6)
 RGT AC 10025-87-3 POCl3
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, -10 deg C

STAGE(7)
 RGT AB 7631-86-9 SiO2
 CON room temperature

STAGE(8)
 RGT CU 76-05-1 F3CCO2H
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, room temperature

PRO EJ 777946-69-7

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

(Continued)

STAGE(5)
 RGT BY 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON room temperature -> -10 deg C

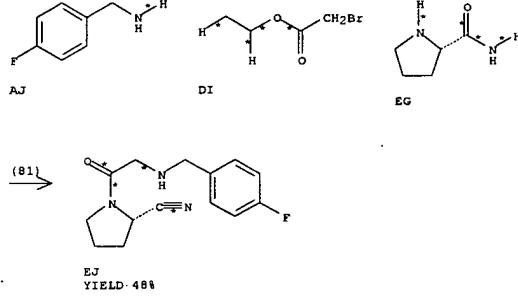
STAGE(6)
 RGT AC 10025-87-3 POCl3
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, -10 deg C

STAGE(7)
 RGT AB 7631-86-9 SiO2
 CON room temperature

STAGE(8)
 RGT CU 76-05-1 F3CCO2H
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, room temperature

PRO EI 741657-02-3

RX(81) OF 237 AJ + DI + EG ==> EJ



RX(81) RCT AJ 140-75-0

STAGE(1)
 RGT BW 24424-99-5 (Boc)2O
 SOL 75-09-2 CH2Cl2

STAGE(2)